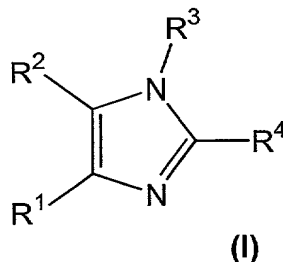


We Claim:

1. A process for preparing a compound of formula (I)



wherein

R¹ is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C₁-C₅alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms;

R² is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C₁-C₅alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally C₁-C₄alkyl substituted;

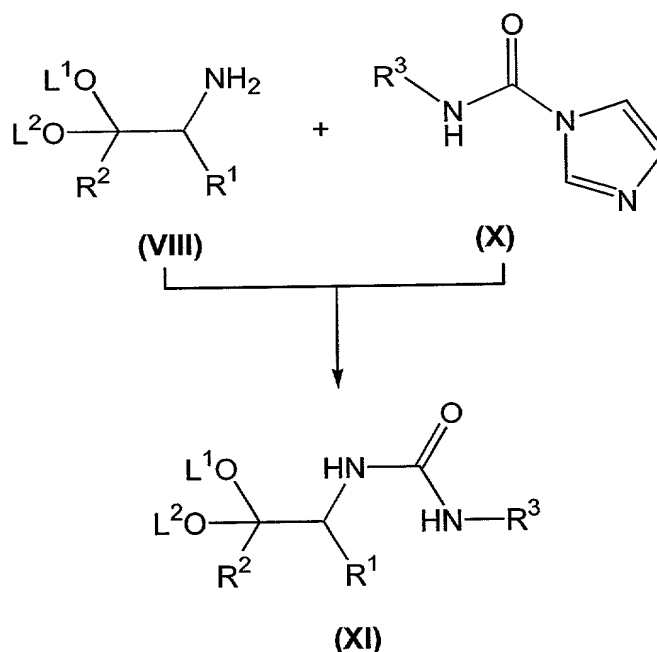
R³ is selected from the group consisting of hydrogen, arylC₁-C₅alkyl, substituted arylC₁-C₅alkyl, (where the aryl substituents are independently selected from one or more of C₁-C₅alkyl, C₁-C₅alkoxy, halogen, amino, C₁-C₅alkylamino or di(C₁-C₅alkyl)amino), phthalimidoC₁-C₅alkyl, succinimidoC₁-C₅alkyl, C₁-C₅alkylcarbonylC₁-C₅alkyl, aryloxy carbonylC₁-C₅alkyl, and heteroarylC₁-C₅alkyl, where the heteroaryl contains 5 to 6 ring atoms;

R⁴ is  $\text{---}\text{C}\equiv\text{C---}(\text{CH}_2)_p\text{---X}$ , where  
p is an integer from 0 to 9;

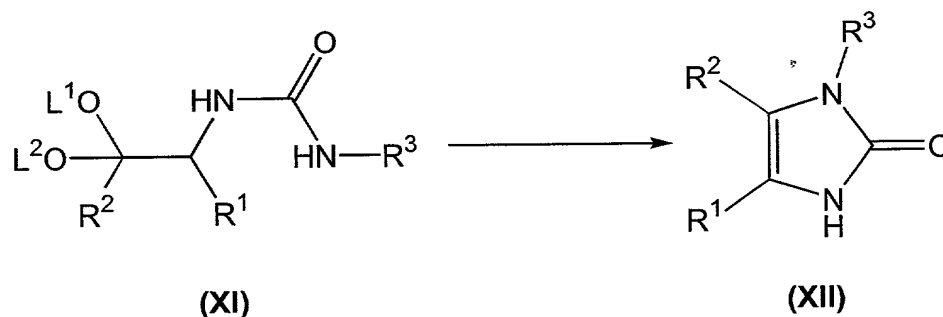
X is selected from the group consisting of hydrogen, hydroxy, vinyl, substituted vinyl, (where one or more substituents are selected from fluorine or chlorine), ethynyl, substituted ethynyl (where the substituent is selected from fluorine or chlorine), C<sub>1</sub>-C<sub>5</sub>alkyl, substituted C<sub>1</sub>-C<sub>5</sub>alkyl (where the alkyl substituents are selected from one or more of C<sub>1</sub>-C<sub>5</sub>alkoxy, trihaloalkyl, phthalamido or amino), C<sub>3</sub>-C<sub>7</sub>cycloalkyl, C<sub>1</sub>-C<sub>5</sub>alkoxy, substituted C<sub>1</sub>-C<sub>5</sub>alkoxy (where the alkyl substituents are selected from phthalimido or amino), phthalimidooxy, phenoxy, substituted phenoxy (where the phenyl substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl, fluorine, chlorine or C<sub>1</sub>-C<sub>5</sub>alkoxy), phenyl, substituted phenyl (where the phenyl substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl, fluorine, chlorine or C<sub>1</sub>-C<sub>5</sub>alkoxy), arylC<sub>1</sub>-C<sub>5</sub>alkyl, substituted arylC<sub>1</sub>-C<sub>5</sub>alkyl (where the aryl substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl, fluorine, chlorine or C<sub>1</sub>-C<sub>5</sub>alkoxy), arylhydroxyC<sub>1</sub>-C<sub>5</sub>alkylamino, C<sub>1</sub>-C<sub>5</sub>alkylamino, di(C<sub>1</sub>-C<sub>5</sub>alkyl)amino, nitrile, oxime, benzyloxyimino, C<sub>1</sub>-C<sub>5</sub>alkyloxyamino, phthalimido, succinimido, C<sub>1</sub>-C<sub>5</sub>alkylcarbonyloxy, phenylcarbonyloxy, substituted phenylcarbonyloxy (where the phenyl substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl, fluorine, chlorine or C<sub>1</sub>-C<sub>5</sub>alkoxy), phenylC<sub>1</sub>-C<sub>5</sub>alkylcarbonyloxy, (where the phenyl substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl, fluorine, chlorine or C<sub>1</sub>-C<sub>5</sub>alkoxy), aminocarbonyloxy, C<sub>1</sub>-C<sub>5</sub>alkylaminocarbonyloxy, di(C<sub>1</sub>-C<sub>5</sub>alkyl)aminocarbonyloxy, C<sub>1</sub>-C<sub>5</sub>alkoxycarbonyloxy, substituted C<sub>1</sub>-C<sub>5</sub>alkoxycarbonyloxy (where the alkyl substituents are selected from the group consisting of methyl, ethyl, isopropyl and hexyl), phenoxy carbonyloxy, substituted phenoxy carbonyloxy (where the phenyl substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl, fluorine, chlorine or C<sub>1</sub>-C<sub>5</sub>alkoxy), C<sub>1</sub>-C<sub>5</sub>alkylthio,

substituted C<sub>1</sub>-C<sub>5</sub>alkylthio (where the alkyl substituents are selected from hydroxy and phthalimido), C<sub>1</sub>-C<sub>5</sub>alkylsulfonyl, phenylsulfonyl and substituted phenylsulfonyl (where the phenyl substituents are selected from fluorine, chlorine, C<sub>1</sub>-C<sub>5</sub>alkoxy or trifluoromethyl);  
 5 or pharmaceutically acceptable salts thereof;

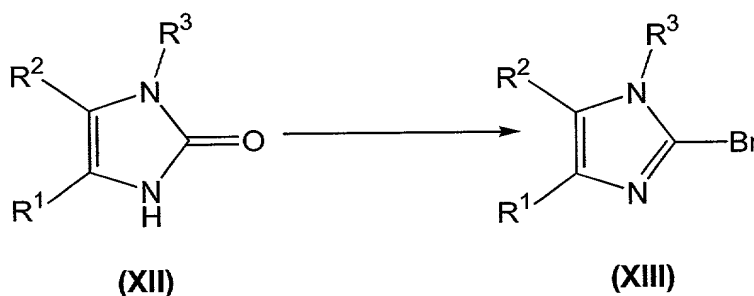
comprising



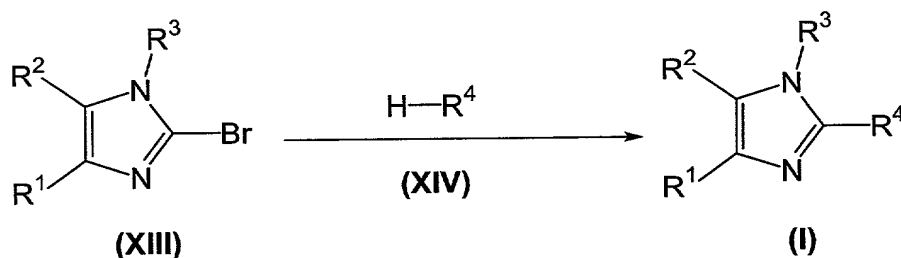
10 reacting a compound of formula (VIII), wherein L<sup>1</sup> and L<sup>2</sup> are independently selected from the group consisting of C<sub>1</sub>-C<sub>4</sub>alkyl and C<sub>1</sub>-C<sub>4</sub>aralkyl; or L<sup>1</sup> together with L<sup>2</sup> is selected from the group consisting of -CH<sub>2</sub>-CH<sub>2</sub>- (optionally substituted with one to four C<sub>1</sub>-C<sub>3</sub> alkyl), and -CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>-  
 15 (optionally substituted with one to six C<sub>1</sub>-C<sub>3</sub> alkyl); with a compound of formula (X), to produce the corresponding compound of formula (XI);



cyclizing the compound of formula (XI), under acid conditions of pH less than about 7, to produce the corresponding compound of formula (XII);

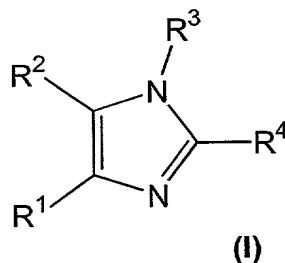


reacting the compound of formula (XII) with  $\text{POBr}_3$ ,  $\text{PBr}_5$ , or a mixture of  $\text{PBr}_3$  and  $\text{Br}_2$ , to yield the corresponding compound of formula (XIII);



displacing the bromine on the compound of formula (XIII) by reacting with a compound of formula (XIV), to produce the corresponding compound of formula (I).

2. A process for preparing a compound of formula (I)



wherein

$R^1$  is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from  $C_1$ - $C_5$ alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms;

$R^2$  is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from  $C_1$ - $C_5$ alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally  $C_1$ - $C_4$ alkyl substituted;

$R^3$  is selected from the group consisting of hydrogen, aryl $C_1$ - $C_5$ alkyl, substituted aryl $C_1$ - $C_5$ alkyl, (where the aryl substituents are independently selected from one or more of  $C_1$ - $C_5$ alkyl,  $C_1$ - $C_5$ alkoxy, halogen, amino,  $C_1$ - $C_5$ alkylamino or di( $C_1$ - $C_5$ alkyl)amino), phthalimido $C_1$ - $C_5$ alkyl, succinimido $C_1$ - $C_5$ alkyl,  $C_1$ - $C_5$ alkylcarbonyl $C_1$ - $C_5$ alkyl, aryloxycarbonyl $C_1$ - $C_5$ alkyl, and heteroaryl $C_1$ - $C_5$ alkyl, where the heteroaryl contains 5 to 6 ring atoms;

$R^4$  is  $\text{---}\text{C}\equiv\text{C---}(\text{CH}_2)_p\text{---X}$ , where

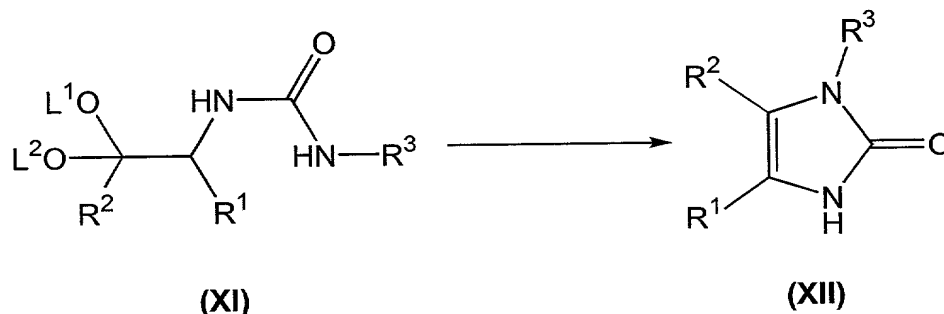
$p$  is an integer from 0 to 9;

$X$  is selected from the group consisting of hydrogen, hydroxy, vinyl, substituted vinyl, (where one or more substituents are selected from fluorine or chlorine), ethynyl, substituted ethynyl (where the substituent is

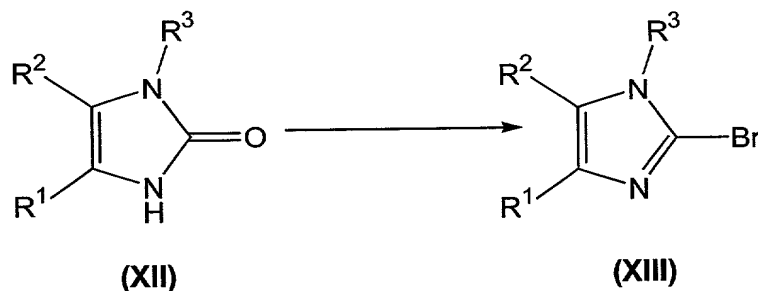
selected from fluorine or chlorine), C<sub>1</sub>-C<sub>5</sub>alkyl,  
substituted C<sub>1</sub>-C<sub>5</sub>alkyl (where the alkyl substituents are  
selected from one or more of C<sub>1</sub>-C<sub>5</sub>alkoxy, trihaloalkyl,  
phthalamido or amino), C<sub>3</sub>-C<sub>7</sub>cycloalkyl, C<sub>1</sub>-C<sub>5</sub>alkoxy,  
5 substituted C<sub>1</sub>-C<sub>5</sub>alkoxy (where the alkyl substituents are  
selected from phthalimido or amino), phthalimidooxy,  
phenoxy, substituted phenoxy (where the phenyl  
substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl, fluorine,  
chlorine or C<sub>1</sub>-C<sub>5</sub>alkoxy), phenyl, substituted phenyl (where  
10 the phenyl substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl,  
fluorine, chlorine or C<sub>1</sub>-C<sub>5</sub>alkoxy), arylC<sub>1</sub>-C<sub>5</sub>alkyl,  
substituted arylC<sub>1</sub>-C<sub>5</sub>alkyl (where the aryl substituents are  
selected from C<sub>1</sub>-C<sub>5</sub>alkyl, fluorine, chlorine or C<sub>1</sub>-  
C<sub>5</sub>alkoxy), arylhydroxyC<sub>1</sub>-C<sub>5</sub>alkylamino, C<sub>1</sub>-C<sub>5</sub>alkylamino,  
15 di(C<sub>1</sub>-C<sub>5</sub>alkyl)amino, nitrile, oxime, benzyloxyimino, C<sub>1</sub>-  
C<sub>5</sub>alkyloxyamino, phthalimido, succinimido, C<sub>1</sub>-  
C<sub>5</sub>alkylcarbonyloxy, phenylcarbonyloxy, substituted  
phenylcarbonyloxy (where the phenyl substituents are  
selected from C<sub>1</sub>-C<sub>5</sub>alkyl, fluorine, chlorine or C<sub>1</sub>-  
C<sub>5</sub>alkoxy), phenylC<sub>1</sub>-C<sub>5</sub>alkylcarbonyloxy, (where the phenyl  
20 substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl, fluorine,  
chlorine or C<sub>1</sub>-C<sub>5</sub>alkoxy), aminocarbonyloxy, C<sub>1</sub>-  
C<sub>5</sub>alkylaminocarbonyloxy, di(C<sub>1</sub>-C<sub>5</sub>alkyl)aminocarbonyloxy, C<sub>1</sub>-  
C<sub>5</sub>alkoxycarbonyloxy, substituted C<sub>1</sub>-C<sub>5</sub>alkoxycarbonyloxy  
25 (where the alkyl substituents are selected from the group  
consisting of methyl, ethyl, isopropyl and hexyl),  
phenoxycarbonyloxy, substituted phenoxycarbonyloxy (where  
the phenyl substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl,  
fluorine, chlorine or C<sub>1</sub>-C<sub>5</sub>alkoxy), C<sub>1</sub>-C<sub>5</sub>alkylthio,  
30 substituted C<sub>1</sub>-C<sub>5</sub>alkylthio (where the alkyl substituents  
are selected from hydroxy and phthalimido), C<sub>1</sub>-  
C<sub>5</sub>alkylsulfonyl, phenylsulfonyl and substituted

phenylsulfonyl (where the phenyl substituents are selected from fluorine, chlorine, C<sub>1</sub>-C<sub>5</sub>alkoxy or trifluoromethyl); or pharmaceutically acceptable salts thereof;

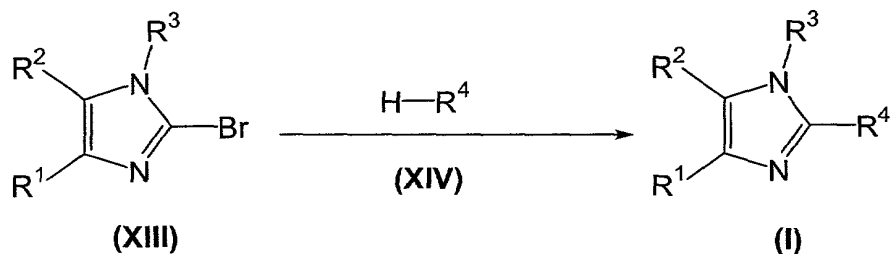
comprising



cyclizing a compound of formula (XI), wherein L<sup>1</sup> and L<sup>2</sup> are independently selected from the group consisting of C<sub>1</sub>-C<sub>4</sub>alkyl and C<sub>1</sub>-C<sub>4</sub>aralkyl; or L<sup>1</sup> together with L<sup>2</sup> is selected from the group consisting of -CH<sub>2</sub>-CH<sub>2</sub>- (optionally substituted with one to four C<sub>1</sub>-C<sub>3</sub> alkyl), and -CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>- (optionally substituted with one to six C<sub>1</sub>-C<sub>3</sub> alkyl), under acid conditions of pH less than about 7, to produce the corresponding compound of formula (XII);

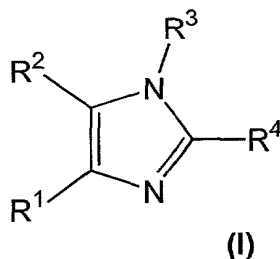


reacting the compound of formula (XII) with POBr<sub>3</sub>, PBr<sub>5</sub>, or a mixture of PBr<sub>3</sub> and Br<sub>2</sub>, to yield the corresponding compound of formula (XIII);



displacing the bromine on the compound of formula (XIII) by reacting with a compound of formula (XIV), to produce the corresponding compound of formula (I).

3. A process for preparing a compound of formula (I)



wherein

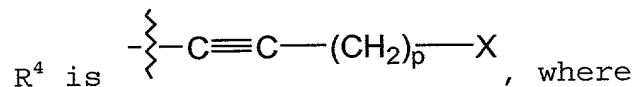
$\text{R}^1$  is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from  $\text{C}_1\text{-C}_5$ alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms;

$\text{R}^2$  is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from  $\text{C}_1\text{-C}_5$ alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally  $\text{C}_1\text{-C}_4$ alkyl substituted;

$\text{R}^3$  is selected from the group consisting of hydrogen, aryl $\text{C}_1\text{-C}_5$ alkyl, substituted aryl $\text{C}_1\text{-C}_5$ alkyl, (where the aryl substituents are independently selected from one or more of  $\text{C}_1\text{-C}_5$ alkyl,  $\text{C}_1\text{-C}_5$ alkoxy, halogen, amino,  $\text{C}_1\text{-C}_5$ alkylamino or di( $\text{C}_1\text{-C}_5$ alkyl)amino), phthalimido $\text{C}_1\text{-C}_5$ alkyl,



succinimidoC<sub>1</sub>-C<sub>5</sub>alkyl, C<sub>1</sub>-C<sub>5</sub>alkylcarbonylC<sub>1</sub>-C<sub>5</sub>alkyl, aryloxycarbonylC<sub>1</sub>-C<sub>5</sub>alkyl, and heteroarylC<sub>1</sub>-C<sub>5</sub>alkyl, where the heteroaryl contains 5 to 6 ring atoms;

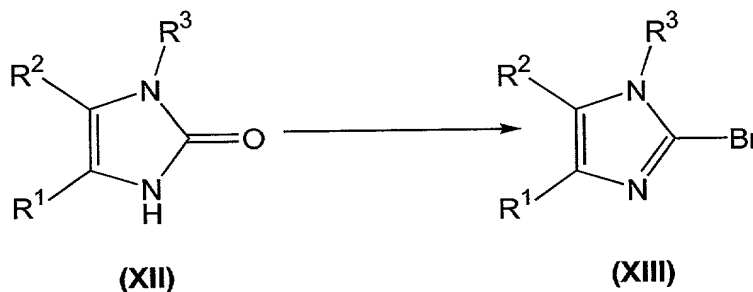


5 p is an integer from 0 to 9;

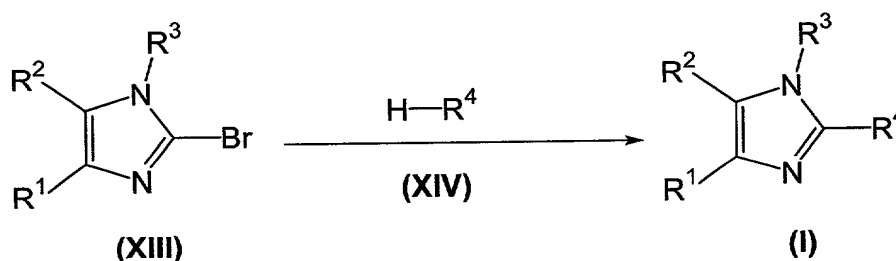
X is selected from the group consisting of hydrogen, hydroxy, vinyl, substituted vinyl, (where one or more substituents are selected from fluorine or chlorine), ethynyl, substituted ethynyl (where the substituent is selected from fluorine or chlorine), C<sub>1</sub>-C<sub>5</sub>alkyl, substituted C<sub>1</sub>-C<sub>5</sub>alkyl (where the alkyl substituents are selected from one or more of C<sub>1</sub>-C<sub>5</sub>alkoxy, trihaloalkyl, phthalamido or amino), C<sub>3</sub>-C<sub>7</sub>cycloalkyl, C<sub>1</sub>-C<sub>5</sub>alkoxy, substituted C<sub>1</sub>-C<sub>5</sub>alkoxy (where the alkyl substituents are selected from phthalimido or amino), phthalimidooxy, phenoxy, substituted phenoxy (where the phenyl substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl, fluorine, chlorine or C<sub>1</sub>-C<sub>5</sub>alkoxy), phenyl, substituted phenyl (where the phenyl substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl, fluorine, chlorine or C<sub>1</sub>-C<sub>5</sub>alkoxy), arylC<sub>1</sub>-C<sub>5</sub>alkyl, substituted arylC<sub>1</sub>-C<sub>5</sub>alkyl (where the aryl substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl, fluorine, chlorine or C<sub>1</sub>-C<sub>5</sub>alkoxy), arylhydroxyC<sub>1</sub>-C<sub>5</sub>alkylamino, C<sub>1</sub>-C<sub>5</sub>alkylamino, di(C<sub>1</sub>-C<sub>5</sub>alkyl)amino, nitrile, oxime, benzyloxyimino, C<sub>1</sub>-C<sub>5</sub>alkyloxyamino, phthalimido, succinimido, C<sub>1</sub>-C<sub>5</sub>alkylcarbonyloxy, phenylcarbonyloxy, substituted phenylcarbonyloxy (where the phenyl substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl, fluorine, chlorine or C<sub>1</sub>-C<sub>5</sub>alkoxy), phenylC<sub>1</sub>-C<sub>5</sub>alkylcarbonyloxy, (where the phenyl substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl, fluorine, chlorine or C<sub>1</sub>-C<sub>5</sub>alkoxy), aminocarbonyloxy, C<sub>1</sub>-C<sub>5</sub>alkylaminocarbonyloxy, di(C<sub>1</sub>-C<sub>5</sub>alkyl)aminocarbonyloxy, C<sub>1</sub>-

C<sub>5</sub>alkoxycarbonyloxy, substituted C<sub>1</sub>-C<sub>5</sub>alkoxycarbonyloxy (where the alkyl substituents are selected from the group consisting of methyl, ethyl, isopropyl and hexyl), phenoxy carbonyloxy, substituted phenoxy carbonyloxy (where the phenyl substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl, fluorine, chlorine or C<sub>1</sub>-C<sub>5</sub>alkoxy), C<sub>1</sub>-C<sub>5</sub>alkylthio, substituted C<sub>1</sub>-C<sub>5</sub>alkylthio (where the alkyl substituents are selected from hydroxy and phthalimido), C<sub>1</sub>-C<sub>5</sub>alkylsulfonyl, phenylsulfonyl and substituted phenylsulfonyl (where the phenyl substituents are selected from fluorine, chlorine, C<sub>1</sub>-C<sub>5</sub>alkoxy or trifluoromethyl); or pharmaceutically acceptable salts thereof;

comprising

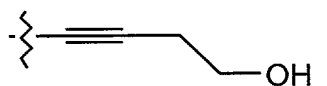


reacting the compound of formula (XII) with POBr<sub>3</sub>, PBr<sub>5</sub>, or a mixture of PBr<sub>3</sub> and Br<sub>2</sub>, to yield the corresponding compound of formula (XIII);

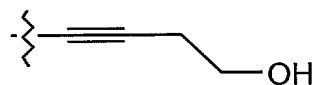


displacing the bromine on the compound of formula (XIII) by reacting with a compound of formula (XIV), to produce the corresponding compound of formula (I).

- 5 4. The process of Claim 1 wherein  $R^1$  is 4-fluorophenyl,  $R^2$  is 4-pyridyl,  $R^3$  is 3-phenylpropyl and  $R^4$  is



- 10 5. The process of Claim 3 wherein  $R^1$  is 4-fluorophenyl,  $R^2$  is 4-pyridyl,  $R^3$  is 3-phenylpropyl and  $R^4$  is



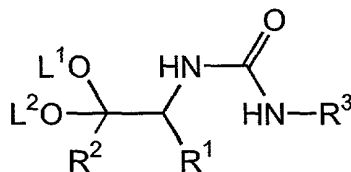
- 15 6. The process of Claim 1 wherein the compound of formula (XII) is reacted with  $\text{POBr}_3$  in tetramethylenesulfone.

- 20 7. The process of Claim 3 wherein the compound of formula (XII) is reacted with  $\text{POBr}_3$  in tetramethylenesulfone.

8. The process of Claim 1, wherein the compound of formula (XII) is reacted with about a 1:1 mixture of  $\text{PBr}_3$  and  $\text{Br}_2$  in  $\text{POCl}_3$ .

- 25 9. The process of Claim 3, wherein the compound of formula (XII) is reacted with about a 1:1 mixture of  $\text{PBr}_3$  and  $\text{Br}_2$  in  $\text{POCl}_3$ .

10. A compound of formula (XI)



(XI)

wherein

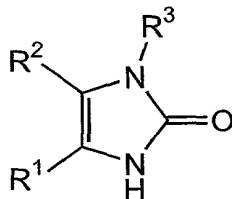
$\text{R}^1$  is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from  $\text{C}_1$ - $\text{C}_5$ alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms;

$\text{R}^2$  is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from  $\text{C}_1$ - $\text{C}_5$ alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally  $\text{C}_1$ - $\text{C}_4$ alkyl substituted;

$\text{R}^3$  is selected from the group consisting of hydrogen, aryl $\text{C}_1$ - $\text{C}_5$ alkyl, substituted aryl $\text{C}_1$ - $\text{C}_5$ alkyl, (where the aryl substituents are independently selected from one or more of  $\text{C}_1$ - $\text{C}_5$ alkyl,  $\text{C}_1$ - $\text{C}_5$ alkoxy, halogen, amino,  $\text{C}_1$ - $\text{C}_5$ alkylamino or di( $\text{C}_1$ - $\text{C}_5$ alkyl)amino), phthalimido $\text{C}_1$ - $\text{C}_5$ alkyl, succinimido $\text{C}_1$ - $\text{C}_5$ alkyl,  $\text{C}_1$ - $\text{C}_5$ alkylcarbonyl $\text{C}_1$ - $\text{C}_5$ alkyl, aryloxycarbonyl $\text{C}_1$ - $\text{C}_5$ alkyl, and heteroaryl $\text{C}_1$ - $\text{C}_5$ alkyl, where the heteroaryl contains 5 to 6 ring atoms; and

$\text{L}^1$  and  $\text{L}^2$  are independently selected from the group consisting of  $\text{C}_1$ - $\text{C}_4$ alkyl and  $\text{C}_1$ - $\text{C}_4$ aralkyl; or  $\text{L}^1$  together with  $\text{L}^2$  is selected from the group consisting of  $-\text{CH}_2-\text{CH}_2-$  (optionally substituted with one to four  $\text{C}_1$ - $\text{C}_3$  alkyl), and  $-\text{CH}_2-\text{CH}_2-\text{CH}_2-$  (optionally substituted with one to six  $\text{C}_1$ - $\text{C}_3$  alkyl).

11. A compound of the formula (XII)



(XII)

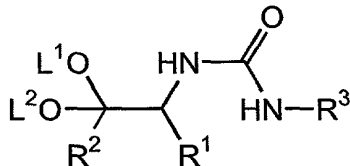
wherein

R<sup>1</sup> is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms;

R<sup>2</sup> is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from C<sub>1</sub>-C<sub>5</sub>alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally C<sub>1</sub>-C<sub>4</sub>alkyl substituted; and

R<sup>3</sup> is selected from the group consisting of hydrogen, arylC<sub>1</sub>-C<sub>5</sub>alkyl, substituted arylC<sub>1</sub>-C<sub>5</sub>alkyl, (where the aryl substituents are independently selected from one or more of C<sub>1</sub>-C<sub>5</sub>alkyl, C<sub>1</sub>-C<sub>5</sub>alkoxy, halogen, amino, C<sub>1</sub>-C<sub>5</sub>alkylamino or di(C<sub>1</sub>-C<sub>5</sub>alkyl)amino), phthalimidoC<sub>1</sub>-C<sub>5</sub>alkyl, succinimidoC<sub>1</sub>-C<sub>5</sub>alkyl, C<sub>1</sub>-C<sub>5</sub>alkylcarbonylC<sub>1</sub>-C<sub>5</sub>alkyl, aryloxy carbonylC<sub>1</sub>-C<sub>5</sub>alkyl, and heteroarylC<sub>1</sub>-C<sub>5</sub>alkyl, where the heteroaryl contains 5 to 6 ring atoms.

12. A process for preparing a compound of formula (XI)



(XI)

wherein

5  $R^1$  is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from  $C_1$ - $C_5$ alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms;

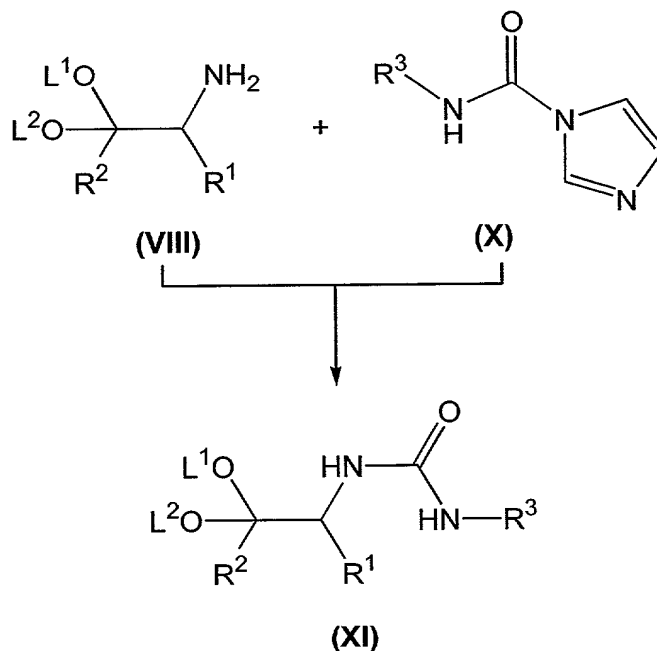
10  $R^2$  is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from  $C_1$ - $C_5$ alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally  $C_1$ - $C_4$ alkyl substituted;

15  $R^3$  is selected from the group consisting of hydrogen, aryl $C_1$ - $C_5$ alkyl, substituted aryl $C_1$ - $C_5$ alkyl, (where the aryl substituents are independently selected from one or more of  $C_1$ - $C_5$ alkyl,  $C_1$ - $C_5$ alkoxy, halogen, amino,  $C_1$ - $C_5$ alkylamino or di( $C_1$ - $C_5$ alkyl)amino), phthalimido $C_1$ - $C_5$ alkyl, succinimido $C_1$ - $C_5$ alkyl,  $C_1$ - $C_5$ alkylcarbonyl $C_1$ - $C_5$ alkyl, aryloxy carbonyl $C_1$ - $C_5$ alkyl, and heteroaryl $C_1$ - $C_5$ alkyl, where the heteroaryl contains 5 to 6 ring atoms; and

20  $L^1$  and  $L^2$  are independently selected from the group consisting of  $C_1$ - $C_4$ alkyl and  $C_1$ - $C_4$ aralkyl; or  $L^1$  together with  $L^2$  is selected from the group consisting of  $-CH_2-CH_2-$  (optionally substituted with one to four  $C_1$ - $C_3$  alkyl), and  $-CH_2-CH_2-CH_2-$  (optionally substituted with one to six  $C_1$ - $C_3$  alkyl)

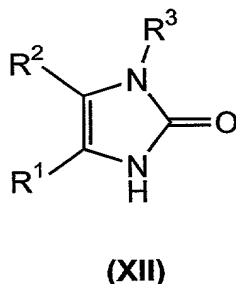
25

comprising



reacting a compound of formula (VIII), wherein  $\text{L}^1$  and  $\text{L}^2$  are independently selected from the group consisting of  $\text{C}_1$ - $\text{C}_4$ alkyl and  $\text{C}_1$ - $\text{C}_4$ aralkyl; or  $\text{L}^1$  together with  $\text{L}^2$  is selected from the group consisting of  $-\text{CH}_2-\text{CH}_2-$  (optionally substituted with one to four  $\text{C}_1$ - $\text{C}_3$  alkyl), and  $-\text{CH}_2-\text{CH}_2-\text{CH}_2-$  (optionally substituted with one to six  $\text{C}_1$ - $\text{C}_3$  alkyl); with a compound of formula (X), to produce the corresponding compound of formula (XI).

13. A process for preparing a compound of formula (XII)



wherein

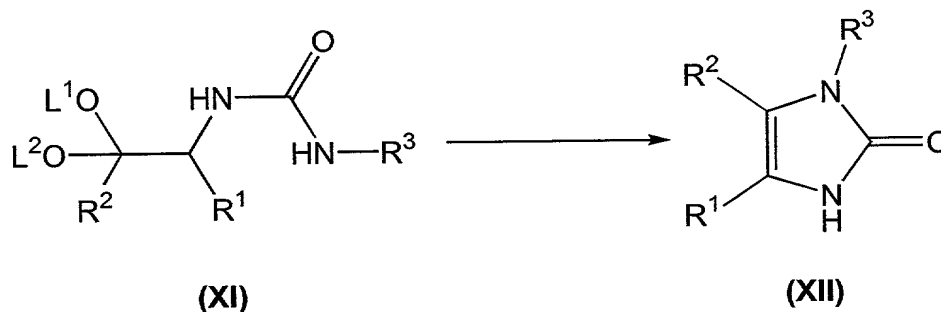
$\text{R}^1$  is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from  $\text{C}_1$ - $\text{C}_5$ alkyl, halogen or trifluoromethyl) and

heteroaryl, where the heteroaryl contains 5 to 6 ring atoms;

$R^2$  is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from  $C_1$ - $C_5$ alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally  $C_1$ - $C_4$ alkyl substituted; and

$R^3$  is selected from the group consisting of hydrogen, aryl $C_1$ - $C_5$ alkyl, substituted aryl $C_1$ - $C_5$ alkyl, (where the aryl substituents are independently selected from one or more of  $C_1$ - $C_5$ alkyl,  $C_1$ - $C_5$ alkoxy, halogen, amino,  $C_1$ - $C_5$ alkylamino or di( $C_1$ - $C_5$ alkyl)amino), phthalimido $C_1$ - $C_5$ alkyl, succinimido $C_1$ - $C_5$ alkyl,  $C_1$ - $C_5$ alkylcarbonyl $C_1$ - $C_5$ alkyl, aryloxy carbonyl $C_1$ - $C_5$ alkyl, and heteroaryl $C_1$ - $C_5$ alkyl, where the heteroaryl contains 5 to 6 ring atoms

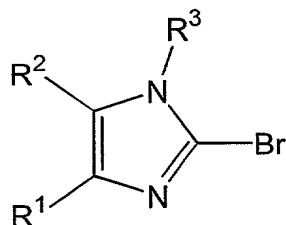
comprising



cyclizing a compound of formula (XI), wherein  $L^1$  and  $L^2$  are independently selected from the group consisting of  $C_1$ - $C_4$ alkyl and  $C_1$ - $C_4$ aralkyl; or  $L^1$  together with  $L^2$  is selected from the group consisting of  $-\text{CH}_2-\text{CH}_2-$  (optionally substituted with one to four  $C_1$ - $C_3$  alkyl), and  $-\text{CH}_2-\text{CH}_2-\text{CH}_2-$  (optionally substituted with one to six  $C_1$ - $C_3$  alkyl); under acid conditions of pH less than about 7, to produce the corresponding compound of formula (XII).

14. A process for preparing a compound of formula (XIII)





(XIII)

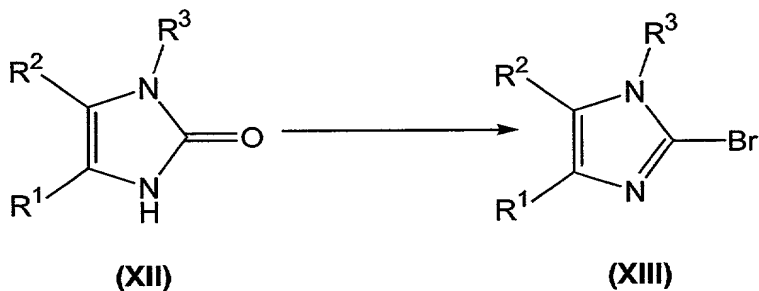
wherein

$R^1$  is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from  $C_1$ - $C_5$ alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms;

$R^2$  is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from  $C_1$ - $C_5$ alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally  $C_1$ - $C_4$ alkyl substituted; and

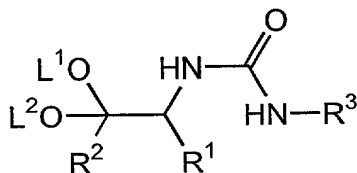
$R^3$  is selected from the group consisting of hydrogen, aryl $C_1$ - $C_5$ alkyl, substituted aryl $C_1$ - $C_5$ alkyl, (where the aryl substituents are independently selected from one or more of  $C_1$ - $C_5$ alkyl,  $C_1$ - $C_5$ alkoxy, halogen, amino,  $C_1$ - $C_5$ alkylamino or di( $C_1$ - $C_5$ alkyl)amino), phthalimido $C_1$ - $C_5$ alkyl, succinimido $C_1$ - $C_5$ alkyl,  $C_1$ - $C_5$ alkylcarbonyl $C_1$ - $C_5$ alkyl, aryloxy carbonyl $C_1$ - $C_5$ alkyl, and heteroaryl $C_1$ - $C_5$ alkyl, where the heteroaryl contains 5 to 6 ring atoms

comprising



reacting a compound of formula (XII) with  $\text{POBr}_3$ ,  $\text{PBr}_5$ , or a mixture of  $\text{PBr}_3$  and  $\text{Br}_2$ , to yield the corresponding compound of formula (XIII).

- 5 15. A process for preparing a compound of formula (XI)



(XI)

wherein

10  $\text{R}^1$  is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from  $\text{C}_1$ - $\text{C}_5$ alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms;

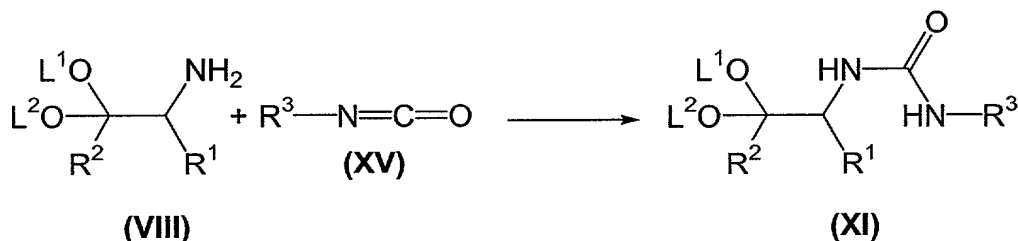
15  $\text{R}^2$  is selected from the group consisting of phenyl, substituted phenyl, (where the substituents are selected from  $\text{C}_1$ - $\text{C}_5$ alkyl, halogen or trifluoromethyl) and heteroaryl, where the heteroaryl contains 5 to 6 ring atoms and is optionally  $\text{C}_1$ - $\text{C}_4$ alkyl substituted;

20  $\text{R}^3$  is selected from the group consisting of hydrogen, aryl $\text{C}_1$ - $\text{C}_5$ alkyl, substituted aryl $\text{C}_1$ - $\text{C}_5$ alkyl, (where the aryl substituents are independently selected from one or more of  $\text{C}_1$ - $\text{C}_5$ alkyl,  $\text{C}_1$ - $\text{C}_5$ alkoxy, halogen, amino,  $\text{C}_1$ - $\text{C}_5$ alkylamino or di( $\text{C}_1$ - $\text{C}_5$ alkyl)amino), phthalimido $\text{C}_1$ - $\text{C}_5$ alkyl, succinimido $\text{C}_1$ - $\text{C}_5$ alkyl,  $\text{C}_1$ - $\text{C}_5$ alkylcarbonyl $\text{C}_1$ - $\text{C}_5$ alkyl, aryloxy carbonyl $\text{C}_1$ - $\text{C}_5$ alkyl, and heteroaryl $\text{C}_1$ - $\text{C}_5$ alkyl, where the heteroaryl contains 5 to 6 ring atoms; and

25  $\text{L}^1$  and  $\text{L}^2$  are independently selected from the group consisting of  $\text{C}_1$ - $\text{C}_4$  alkyl and  $\text{C}_1$ - $\text{C}_4$  aralkyl; or  $\text{L}^1$  together with  $\text{L}^2$  is selected from the group consisting of  $-\text{CH}_2-\text{CH}_2-$

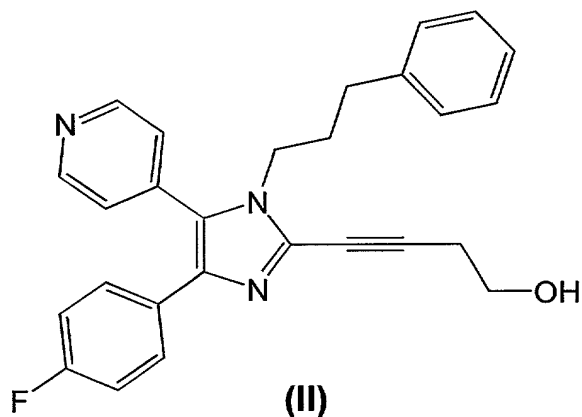
(optionally substituted with one to four C<sub>1</sub>-C<sub>3</sub> alkyl), and  
 -CH<sub>2</sub>-CH<sub>2</sub>-CH<sub>2</sub>- (optionally substituted with one to six C<sub>1</sub>-C<sub>3</sub>  
 alkyl);

comprising



reacting a compound of formula (VIII) with a compound  
 of formula (XV), to yield the corresponding compound of  
 formula (XI).

16. A crystalline form of the compound of formula (II)



comprising the following x-ray powder diffraction peaks:

| ANGLE °2θ | d-Spacing (Å) | Relative Intensity (%) |
|-----------|---------------|------------------------|
| 7.206     | 12.257        | 100.0                  |
| 8.961     | 9.861         | 14.2                   |
| 10.617    | 8.326         | 24.8                   |
| 12.438    | 7.110         | 14.0                   |
| 15.500    | 5.712         | 33.7                   |
| 16.458    | 5.382         | 13.3                   |
| 17.360    | 5.104         | 17.2                   |
| 17.879    | 4.957         | 37.6                   |
| 18.343    | 4.833         | 19.2                   |
| 18.665    | 4.750         | 31.8                   |

|        |        |      |
|--------|--------|------|
| 19.126 | 4.637  | 16.1 |
| 19.943 | 4.448  | 21.9 |
| 20.491 | 4.331  | 30.8 |
| 21.469 | 4.135  | 52.9 |
| 21.891 | 4.057  | 59.8 |
| 22.371 | 3.971  | 58.7 |
| 22.778 | 3.901  | 12.0 |
| 23.159 | 3.837  | 51.0 |
| 23.870 | 3.725  | 20.8 |
| 24.526 | 3.627  | 15.5 |
| 24.704 | 3.601  | 25.9 |
| 25.113 | 3.543  | 14.7 |
| 26.368 | 3.377  | 11.0 |
| 27.674 | 3.221  | 10.5 |
| 28.088 | 3.174  | 18.3 |
| 28.896 | 3.087  | 21.3 |
| 29.291 | 3.047  | 19.4 |
| 30.201 | 2.9568 | 10.6 |
| 30.501 | 2.9284 | 13.3 |